L15 ANSWER 1 OF 9 USPATFULL
ACCESSION NUMBER: 1998:101636 USPATFULL
TITLE: Method of inhibiting the hyperproliferation of malignant cells
INVENTOR(S): Knutson, Joyce C., Madison, WI, United States
PATENT ASSIGNEE(S): Bone Care International, Inc., Madison, WI, United States (U.S. corporation)

PATENT INFORMATION: APPLICATION INFO.: RELATED APPLN. INFO.: filed on

NUMBER DATE
US 579945 980825
US 95-486387 950607 (8)
Continuation-in-part of Ser. No. US 94-265438,

24 Jun 1994 which is a continuation of Ser. No. US 92-886554, filed on 20 May 1992, now abandoned

a continuation-in-part of Ser. No. US 91-800045,

on 29 Nov 1991, now abandoned which is a

continuation

of Ser. No. US 90-586854, filed on 21 Sep 1990,

DOCUMENT TYPE: PRIMARY EXAMINER: ASSISTANT EXAMINER: LEGAL REPRESENTATIVE:

abandoned
Utility
Robinson, Allen J.
Badio, Barbars
Welch, Teresa J.Stroud, Stroud, Willink, Thompson

Howard

NUMBER OF CLAIMS: 18

EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 2 Drawing Figure(s), 2 Drawing Page(s)

LINE COUNT: 1016

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB 1.alpha.-hydroxy vitamin D.sub.4 and analogues, preferably 1,24 dihydroxy vitamin D.sub.4, which are useful as active compounds of pharmaceutical compositions for the inhibition of hyperproliferative activity of malignant cells.

IT 131249-38-2 143032-88-3 157893-62-4

(hydroxy vitamin D4 and analogs for malignant cell hyperproliferation inhibition, pharmaceutical and cosmetic compns., and prepn. of 5,6-cis-1.alpha.-hydroxy vitamin D4)

RN 131249-38-2 USPATFULL

CN 9,10-Secoergosta-5,7,10(19)-triene-1,3,25-triol, (1.alpha.3,beta.52,7E)
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

L15 ANSWER 1 OF 9 USPATFULL (Continued)

(prepn. and reaction; hydroxy vitamin D4 and analogs for malignant cell

hyperproliferation inhibition, pharmaceutical and cosmetic, and

compns., and
prepn. of 5,6-cis-1.alpha.-hydroxy vitamin D4)
RN 186489-60-1 USPATFULL
CN Bicyclo(3.1.0)hexan-3-ol,
1-[(1R,2E)-1-methoxy-2-[(1R,3aS,7aR)-octahydro-

7a-methyl-1-[(1R,45)-1,4,5-trimethylhexyl]-4H-inden-4-ylidene]ethyl]-2-methylene-, (1R,35,55)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L15 ANSWER 1 OF 9 USPATFULL (Continued)

143032-85-3 USPATFULL 9,10-Secoergosta-5,7,10(19)-triens-1,3-diol, (1.alpha.,3.beta.,52,7E)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

157893-62-4 USPATFULL CM 9,10-Secoergosta-5,7,10(19)-triene-1,3,24-triol,
(1.alpha.,3.beta.,52,7E)(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L15 ANSWER 2 OF 9
ACCESSION NUMBER:
TITLE:

INVENTOR(S):

Bishop, Charles W., Madison, WI, United States
Knutson, Joyce C., Hadison, WI, United States
Valiere, Charles M., Waunakee, WI, United States
Bone Care International, Inc., Madison, WI, United States
Bone Care International, Inc., Madison, WI, United States

NUMBER DATE

PATENT INFORMATION: APPLICATION INFO.: RELATED APPLN. INFO.: filed on US 5795882 980818 US 96-775447 961230 (8) Continuation-in-part of Ser. No. US 95-485354,

7 Jun 1995, now patented, Pat. No. US 5614513 which is

a division of Ser. No. US 94-196116, filed on 22 Feb

1994, now patented, Pat. No. US 5529991 which is a continuation-in-part of Ser. No. US 92-901886,

22 Jun 1992, now abandoned
Utility
Killos, Paul J.
Welch, Teresa J.Stroud, Stroud, Willink, Thompson &
Howard
24
1 DOCUMENT TYPE: PRIMARY EXAMINER: LEGAL REPRESENTATIVE:

2 Drawing Figure(s); 2 Drawing Page(s)

NUMBER OF CLAIMS: EXEMPLARY CLAIM: NUMBER OF DRAWINGS: LINE COUNT: CAS INDEXING IS AVAI AB Method of tre LINE COUNT: 1546

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Hethod of treating prostatic conditions such as prostate cancer and hyperplasia by administering 1.alpha.-hydroxyprevitamin D or activated

vitamin D or a combination thereof in a sustained release form or a delayed and sustained release formulation. Both the sustained

release form and the delayed, sustained release form deliver increased

active
vitamin D blood levels without significant risk of hypercalcemia
associated with other oral dosing of vitamin D forms, to provide the
beneficial effect to the diseased prostate tissue.
IT 131249-38-2, 1.alpha.,22-Dihydroxyvitamin D4 157893-62-4
, 1.alpha.,22-Dihydroxyvitamin D4
(delayed and/or sustained-release vitamin D formulations for
treation

treating
prostatic diseases)
RN 131249-38-2 USPATFULL
CN 9,10-Secoergosta-5,7,10(19)-triene-1,3,25-triol,
(1.alpha,3,3beta,52,78)(9CI) (CA INDEX NAME)

L15 ANSWER 2 OF 9 USPATFULL (Continued)

RN 157893-62-4 USPATFULL CN 9,10-Secoergosta-5,7,10(19)-triene-1,3,24-triol, (1.alpha.,3.beta.,52,78)-(9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

IT 143032-85-3, 1.alpha.-Hydroxyvitamin D4 (delayed and/or sustained-release vitamin D formulations for treating

treating
prostatic diseases)
RN 143032-85-3 USPATFULB
CN 9,10-5ecoergosta-5-7,10(19)-triene-1,3-diol,
(1.alpha.,3.beta.,52,7E)(9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

LIS ANSWER 3 OF 9 USPATFULL
ACCESSION NUMBER: 1998:65212 USPATFULL
TITLE: Hethod of treating prostatic diseases using active vitamin D analogues
vitamin D analogues
Knutson, Joyce C., Madison, WI, United States
Knutson, Joyce C., Madison, WI, United States
PATENT ASSIGNEE(S): Bone Care International, Inc., Hadison, WI, United
States (U.S. corporation)

NUMBER DATE
US 5763429 980609
US 96-781910 961230 (8)
Continuation-in-part of Ser. No. US 95-415488, PATENT INFORMATION: APPLICATION INFO.: RELATED APPLN. INFO.: filed on

3 Apr 1995, now patented, Pat. No. US 5602116 which is

a continuation-in-part of Ser. No. US 93-119895, filed

on 10 Sep 1993, now patented, Pat. No. US 5403831 And a

continuation-in-part of Ser. No. US 95-486387, filed on

7 Jun 1995, now patented, Pat. No. US \$674859 which is

a continuation-in-part of Ser. No. US 94-265438, filed

DOCUMENT TYPE:

PRIMARY EXAMINER:

on 24 Jun 1994 Utility Criares, Theodore J. Welch, Teresa J.Stroud, Stroud, Willink, Thompson LEGAL REPRESENTATIVE:

Howard

NUMBER OF CLAIMS:
9
EXEMPLARY CLAIM:
1
LINE COUNT:
923
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention provides therapeutic methods for inhibiting, ameliorating
or alleviating the hyperproliferative cellular activity of diseases of the prostate, e.g., prostatic cancer and prostatic hyperpla

the prostate, e.g., prostatic cancer and prostatic hyperplasia,

includes administering to a patient in need thereof an active

vitamin D analogue. Cell differentiation is promoted, induced or enhanced

without
causing to the patient dose-limiting hypercalcamia and
hypercalciuria.

IT 131249-38-2, 1.alpha.,25-Dihydroxyvitamin D4 143032-85-3
, 1.alpha.-Hydroxyvitamin D4. 157893-62-4, 1.alpha.,24Dihydroxyvitamin D4
(prostatic diseases using active vitamin D analogs and anticancer
agents)

RN 131249-38-2 USPATFULL
CN 9,10-Secoergosta-5,7,10(19)-triene-1,3,25-triol,
(1.alpha.,3.beta.,52,78)-

L15 ANSWER 2 OF 9 USPATFULL (Continued)

L15 ANSWER 3 OF 9 USPATFULL (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

143032-85-3 USPATFULL 9,10-Secoergosta-5,7,10(19)-triene-1,3-diol, (1.alpha.,3.beta.,52,7E)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 157893-62-4 USPATFULL CN 9,10-Secoergosta-5,7,10(19)-triene-1,3,24-triol, (1.alpha.,3.beta.,52,78)-(9CI) (CA INDEX NAME)

L15 ANSWER 3 OF 9 USPATFULL (Continued)

1.15 ANSWER 4 OF 9 USPATFULL (Continued)

IT 131249-38-2F 157893-62-4F

(prepn. and treating hyperproliferative skin disorders with novel la-hydroxy vitamin D4 derivs.)

RN 131249-38-2 USPATFULL
CN 9,10-Secoergosta-5,7,10(19)-triene-1,3,25-triol,
(1.alpha.,3.beta.,52,78)
(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 157893-62-4 USPATFULL CN 9,10-Secoergosta-5,7,10(19)-triene-1,3,24-triol, (1.alpha.,3.beta.,5Z,7E)-(SCI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

L15 ANSWER 4 OF 9 USPATFULL
ACCESSION NUMBER: 1998:65211 USPATFULL
ITILE: Methods of treating skin disorders with novel
la-hydroxy vitamin D.sub.4 compounds and

derivatives

Energo: Knutson, Joyce C., Madison, WI, United States Bishop, Charles W., Madison, WI, United States Bone Care International, Inc., Madison, WI, United States (U.S. corporation) INVENTOR(S): PATENT ASSIGNEE(S):

PATENT INFORMATION:

NUMBER DATE
US 5763428 980609
US 95-484342 950607 (8)
Continuation-in-part of Ser. No. US 94-265438, RELATED APPLN. INFO.: filed on

24 Jun 1994 which is a continuation of Ser. No. US 92-886554, filed on 20 May 1992, now abandoned

which is a continuation-in-part of Ser. No. US 91-800045,

filed on 29 Nov 1991, now abandoned which is a

continuation of Ser. No. US 90-586854, filed on 21 Sep 1990, now

abandoned Utility Prior, Kimberly J. Welch, Teresa J.Stroud, Stroud, Willink, Thompson & Howard 21 DOCUMENT TYPE: PRIMARY EXAMINER: LEGAL REPRESENTATIVE:

Howard

NUMBER OF CLAIMS: 21

EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 2 Drawing Figure(s); 2 Drawing Page(s)

LINE COUNT: 1035

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The disclosure is of methods of treating various skin disorders, including skin cancer, with compounds of novel 1.alpha.-hydroxy

D.sub.4 and novel analogues, thereof, including 1,25 dihydroxy

vitamin

n D.sub.4 and 1,24 dibydroxy vitamin D.sub.4. Novel 1.alpha.-hydroxy vitamin D.sub.4 compounds and compounds of novel analogues suitable

use in the treatment of such disorders are also disclosed herein. IT 143032-95-3P

143032-85-3P
(prepn. and treating hyperproliferative skin disorders with novel la-hydroxy vitamin D4 derivs.)
143032-85-3 USPATFULL
9,10-Secoergosta-5,7,10(19)-triene-1,3-diol, (1.alpha.,3.beta.,52,7E)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

L15 ANSWER 4 OF 9 USPATFULL (Continued)

IT 186489-60-1P, 1.alpha.-Hydroxy-3,5-cyclovitamin D4
(prepn. and treating hyperproliferative skin disorders with novel
la-hydroxy vitamin D4 derivs.)
RN 186489-60-1 USPATFULL
CN Bicyclo[3.1.0] hexan-3-01,
1-[(1R,2E)-1-methoxy-2-[(1R,3aS,7aR)-octahydro-

7a-methyl-1-[(1R,4S)-1,4,5-trimethylhexyl]-4H-inden-4-ylidene]ethyl]-2-methylene-, (1R,3S,5S)- (9CI) (CA INDEX NAME)

L15 ANSWER 5 OF 9 USPATFULL

ACCESSION NUMBER: 97:120607 USPATFULL

TITLE: Prevention and treatment of myocardial failure

Gulbrandsen, Carl E., Hadison, WI, United States

Moss, Richard L., Middleton, WI, United States

Bone Care International, Inc., Hadison, VI, United States (U.S. corporation) NUMBER DATE PATENT INFORMATION: APPLICATION INFO.: RELATED APPLN. INFO.: 26 Sep US 5700790 971223 US 96-588067 960117 (8) Continuation of Ser. No. US 94-311934, filed on 1994, now abandoned which is a continuation of Ser. No. US 93-10823, filed on 29 Jan 1993, now patented, Pat. No. US 5350745 DOCUMENT TYPE: PRIMARY EXAMINER: LEGAL REPRESENTATIVE: Utility
Jarvis, William R. A.
Welch, Teresa J.Stroud, Stroud, Willink, Thompson Howard NUMBER OF CLAIMS: EXEMPLARY CLAIM: EXEMPLARY CLAIM:

LINE COUNT:
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Method of increasing the strength of contraction in the mammalian heart muscle by administering to the mammal an effective amount of an activated Vitamin D compound, i.e. a l.alpha.-hydroxylated Vitamin compound which binds with the Vitamin D receptor and produces a positive inotropic effect in the heart muscle. The activated Vitamin.D compound
may be given as a means to prevent myocardial failure or to treat
myocardial failure.

IT 131249-39-2 143032-95-3 157893-62-4
(myocardial failure treatment with)
RN 131249-38-2 USPATFULL
CN 9,10-Secoergosta-5,7,10(19)-triene-1,3,25-triol,
(1.alpha.,3.beta.,52,7E)(9CI) (CA INDEX NAME) compound

Absolute stereochemistry. Double bond geometry as shown.

L15 ANSWER 5 OF 9 USPATFULL (Continued)

L15 ANSWER 5 OF 9 USPATFULL (Continued)

N 143032-85-3 USPATFULL N 9,10-Secoeptoat-5,7,10(19)-triene-1,3-diol, (1.alpha.,3.beta.,52,7E)-(9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 157893-62-4 USPATFULL CN 9,10-Secoergoota-5,7,10(19)-triene-1,3,24-triol, (1.alpha.,3.beta.,52,78)-(9CI) (CA INDEX NAME)

> Absolute stereochemistry. Double bond geometry as shown.

LIS ANSWER 6 OF 9 USPATFULL

ACCESSION NUMBER:

TITLE: Method for treating and preventing secondary hyperparathyroidism (NUMBER)

INVENTOR(S): Knutson, Joyce C., Madison, WI, United States Bishop, Charles W., Verons, WI, United States Mazess, Richard B., Madison, WI, United States Bone Care International, Inc., Madison, WI, United States Cut. States (U.S. corporation)

NUMBER DATE**

**PATENT INFORMATION: US 5562116 970211

**PATENT INFORMATION: US 5562116 97021

**PATENT INFORMATION: United States Madison, WI, United States

L15 ANSWER 6 OF 9 USPATFULL (Continued)

RN 157893-62-4 USPATFULL CN 9,10-Secoergosta-5,7,10(19)-triene-1,3,24-trio1, (1.alpha.,3.beta.52,78)-(9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L15 ANSWER 7 OF 9 USPATFULL (Continued)
CN 9,10-Secoergosta-5,7,10(19)-triene-1,3-diol,
(1.alpha.,3.beta.,52,7E)(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 157893-62-4 USPATFULL CN 9,10-Secoergosta-5,7,10(19)-triene-1,3,24-triol, (1.alpha.,3.beta.,52,7E)-(9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L15 ANSWER 7 OF 9 USPATFULL

ACCESSION NUMBER: 96:55740 USPATFULL

TITLE: 0ral l.alpha-hydroxyprevitamin D

Knutson, Joyce C., Madison, WI, United States

Vallere, Charles R., Waunakee, WI, United States

PATENT ASSIGNEE(S): Lunar Corporation, Madison, WI, United States (U.S. corporation)

NUMBER DATE
US 5529991 960625
US 94-196116 940222 (8)
Continuation-in-part of Ser. No. US 92-901886, PATENT INFORMATION:

APPLICATION INFO.: RELATED APPLN. INFO.:

22 Jun 1992, now abandoned DOCUMENT TYPE:

22 Jun 1992, now abandoned Utility Killos, Paul J. Welch, Teresa J.Stroud, Stroud, Willink, Thompson & Howard 22 PRIMARY EXAMINER: LEGAL REPRESENTATIVE:

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

LINE COUNT: 1131
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention relates to delayed and sustained release oral medicaments

CAS INDEXING IS AVAILABLE TO.

AB This invention relates to delayed and sustained release activated medicaments
and, more specifically, to delayed and sustained release activated vitamin D, oral medicament.

IT 131249-38-2, 1.alpha.,25-Dlhydroxy vitamin D4 143032-85-3
, 1.alpha.-Hydroxy vitamin D4 157893-62-4
(enteri-coated sustained-release oral dosage forms for vitamin D)

RN 131249-38-2 USPATFULL
CN 9,10-Secoergosta-5,7,10(19)-triene-1,3,25-triol,
(1.alpha.,3.beta.,52,78)(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 143032-85-3 USPATFULL

L15 ANSWER 8 OF 9 USPATFULL

ACCESSION NUMBER: 94:84252 USPATFULL

TITLE: Treatment of myocardial failure

HYMENTOR(S): Gulbrandsen, Carl E., Madison, WI, United States

MOSS, Richard L., Middleton, WI, United States

Lunar Corporation, Madison, WI, United States

corporation) ACCESSION NO TITLE: INVENTOR(S):

NUMBER DATE PATENT INFORMATION: US 5350745 940927
APPLICATION INFO:: US 93-10823 930129 (8)
DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Cintins, Marianne M.
ASSISTANT EXAMINER: Jarvis, William R. A.
LEGAL REPRESENTATIVE: Stroud, Willink, Thompson & Howard
NUMBER OF CLAIMS: 4
EXEMPLARY CLAIM: 1
LINE COUNT: 246
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Hethod of increasing the strength of contraction in the mammalian
heart
muscle by administering to the mammal an effective amount of an

AB Hethod of increasing the strength of contraction in the mammalian heart muscle by administering to the mammal an effective amount of an activated Vitamin D compound, i.e. a 1.alpha.-hydroxylated Vitamin D compound which binds with the Vitamin D receptor and produces a positive inotropic effect in the heart muscle. The activated Vitamin D compound may be given as a means to treat myocardial failure.

IT 131249-38-2 143032-65-3 157833-62-4 (myocardial failure treatment with)
RN 131249-38-2 USPATFULL
CN 9,10-5eccergosta-5,7,10(19)-triene-1,3,25-triol, (1.alpha.,3.beta.,\$2,7,8] (96I) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

143032-85-3 USPATFULL 9,10-Secoergosta-5,7,10(19)-triene-1,3-diol, (1.alpha.,3.beta.,52,7E)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L15 ANSWER 8 OF 9 USPATFULL (Continued)
Double bond geometry as shown.

RN 157893-62-4 USPATFULL CN 9,10-Secoergosta-5,7,10(19)-triene-1,3,24-triol, (1.alpha.,3.beta.,5z,7E)-(SCI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L15 ANSWER 9 OF 9 USPATFULL (Continued)

L15 ANSWER 9 OF 9 USPATFULL

ACCESSION NUMBER:
1711E: 1.alpha., 25-dihydroxyvitamin D4 compounds,
ergota-5,7-diene compounds and processes for the
preparation thereof
TSUJI, Masahiro, Kawagoe, Japan
Tachibana, Yoji, Kawagoe, Japan
Yokoyama, Shinji, Ohi, Japan
Ikekawa, Nobuo, Musashino, Japan
Nisshin Flour Milling Co., Ltd., Tokyo, Japan
Nisshin Flour Milling Co., Ltd., Tokyo, Japan
NUMBER DATE

PATENT INFORMATION: US 5157135 921020
APPLICATION INFO: US 90-496862 900321 (7)
DISCLAIMER DATE

PRIORITY INFORMATION: US 90-496862 900321 (7)
DISCLAIMER DATE

PRIORITY INFORMATION: UP 89-78110 890331
UCULHENT TYPE: Utility
PRIMANY EXAMINER: Bond, Robert T.
ASSISTANT EXAMINER: Ward, E. C.
LEGAL REPRESENTATIVE: Abelman, Frayne & Schwab
NUMBER OF CLAIME: 1
EXEMPLANY CLAIM: 1
LINE COUNT: 787
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB (245) - and (24R)-1.alpha., 25-olhydroxyvitamin D. sub. 4 compounds and processes for the preparing same. Ergosta-5, 7-diene compounds which are useful intermediates in the synthesis of the 1.alpha., 25dihydroxyvitamin D. sub. 4 compounds. The D. sub. 4 compounds are expected
to possess an interesting pharmacological activity in association with
the active-type vitamins D. sub. 3 and D. sub. 2.

IT 131249-38-2 USPATFULL
CN 9,10-Secosgosta-5,7,10(19)-triene-1,3,25-triol, #
(1.alpha.,3.beta.,52,78)(9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

=> file caplus

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L1
              0 S 1,25-DIHYDROXY-24-HOMOVITAMIN D3/CN
L2
              0 S 1,25-DIHYDROXY-24-HOMOVITAMIN D3
L3
              0 S HOMOVITAMIN/CN
              1 S HOMOVITAMIN
L4
L5
              8 S 24(L)HOMO(L)VITAMIN
L6
              0 S 24(L)HOMO(L)VITAMIN(L)D3/CN
L7
              7 S 24(L) HOMO(L) VITAMIN(L) D3
L8
              1 S VITAMIN D4/CN
     FILE 'CAPLUS' ENTERED AT 15:57:19 ON 01 FEB 1999
L9
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L10
              7 S 1(L) HYDROXY (L) VITAMIN D4
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L11
              5 S 1(L) HYDROXY(L) VITAMIN D4
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L12
            20 S L11
L13
            11 S L11/THU
L14
             1 S L13 NOT PY>=1997
    FILE 'USPATFULL' ENTERED AT 16:00:31 ON 01 FEB 1999
L15
    FILE 'CAPLUS' ENTERED AT 16:02:09 ON 01 FEB 1999
=> d ibib ab hitst 1-11 113
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DMAX ----- MAX, delimited for post-processing
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FBIB ----- AN, BIB, plus Patent FAM
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SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
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             containing hit terms
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HITSTR ----- HIT RN, its text modification, its CA index name, and
            its structure diagram
FHITSTR ---- First HIT RN, its text modification, its CA index name, and
             its structure diagram
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ENTER DISPLAY FORMAT (BIB):end

=> d ibib ab hitstr 1-11 113

L13 ANSWER 1 OF 11 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1998:582860 CAPLUS
DOCUMENT NUMBER: 129:197986
TITLE: maignant cells with 1.alpha.-hydroxy vitamin D4
and
compositions, and
preparation of 5,6-cis-1.alpha.-hydroxy vitamin D4
INVENTOR(5): Knutson, Joyce C., Bishop, Charles W.
BATENT ASSIGNEE(5): 8DOCUMENT TYPE: ADDRESS OF CODEN: USXXXAM
DOCUMENT TYPE: LANGUAGE: PAMILY ACC. NUM. COUNT: 10
PATENT INFORMATION: 10

L13 ANSWER 1 OF 11 CAPLUS COPYRIGHT 1999 ACS (Continued)

RN 157893-62-4 CAPLUS CN 9,10-Secoergosta-5,7,10(19)-triene-1,3,24-triol, (1.alpha.,3.beta.,52,7E)-(9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L13 ANSWER 1 OF 11 CAPLUS COPYRIGHT 1999 ACS (Continued)

US 94-261730 19940617

US 95-416488 19950403

US 95-486387 19950407

US 95-486387 19950407

US 95-486387 19950407

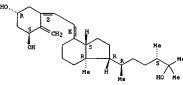
Vitamin D4 and analogs, preferably 1,24 dihydroxy vitamin D4 and analogs, preferably 1,24 dihydroxy vitamin D4 are useful as active compds. of pharmaceutical compns. for the inhibition of hyperproliferative activity of malignant cells. Prepn. of 5,6-cis-1.alpha.-hydroxy vitamin D4 is described.

IT 131249-38-2 443032-85-3 187893-82-4

R1: EAC (Biological activity or effector, except adverse); THU (Therapautic use); BIOL (Biological study); USES (Uses) (hydroxy vitamin D4 and analogs for malignant cell hyperproliferation inhibition, pharmaceutical and commetic compns., and prepn. of 5,6-cis-1.alpha.-hydroxy vitamin D4)

RN 131249-38-2 CAPLUS (19)-triene-1,3,25-triol, (1.alpha.,3.beta.,52,7E)-(SCI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 143032-85-3 CAPLUS
CN 9,10-Secoergosta-5,7,10(19)-triene-1,3-diol, (1.alpha.,3.beta.,5Z,7E)(9C1) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

L13 ANSWER 2 OF 11 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1998:564197 CAPLUS
DOCUMENT NUMBER: 129:170519
Method of treating prostatic diseases using
delayed and/or numbers and/or nu and/or sustained-release vitamin D formulations Bishop, Charles W.; Knutson, Joyce C.; Valliere, Charles R. Bone Care International, Inc., USA U.S., 17 pp. Cont.-in-part of U. S. 5,614,513. CODEN: USXXAM Patent English INVENTOR(5): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE US 5795882 A 19980818 US 96-775447 19961230 US 5529991 A 19960625 US 94-196116 19940222 US 5614513 A 19970325 US 95-485354 19950607 WO 9829105 A2 19980709 WO 97-US22034 19971210 WO 9829105 A3 19981015 W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DX, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TM, TT, UA, UG, UZ, VN RW: GH, GM, KE, LS, MW, SD, S2, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,

GA, GN, ML, MR, NE, SN, TD, TG

AU 9878883 A1 19980731 AU 98-78883 19971210

PRIORITY APPLN. INFO.: US 92-901886 19920622

US 94-196116 19940222

US 95-485354 19950607

US 95-48747 19961230

WO 97-US22034 19971210

AB A method of treating prostatic conditions such as prostate cancer and hyperplasia involves administering 1.alpha.-bydroxyprevitamin D or activated vitamin D or a combination thereof in a sustained-release form or a delayed and sustained-release formulation. Both the sustained-release form and the delayed, sustained-release form deliver increased active vitamin D blood levels without significant risk of hypercalcemia assocd, with other oral dosing of vitamin D forms, to provide the beneficial effect to the diseased prostate tissue. provide the Delaiston.

Patients

with advanced androgen-independent prostate cancer were treated orally with 1.alpha.,24-dihydroxyprevitamin D2.

IT 131249-38-2, 1.alpha.,25-Dihydroxyvitamin D4 157893-62-4, 1.alpha.,24-Dihydroxyvitamin D4

RL: Bac (Biological activity or effector, except adverse); BPR L13 ANSWER 2 OF 11 CAPLUS COPYRIGHT 1999 ACS (Continued) process); THU (Therapsutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(Process); USES (Uses)

(delayed and/or sustained-release vitamin D for treating prostatic diseases)
RN 131249-38-2 CAPLUS
CN 9,10-Secoergosta-5.7,10(19)-triene-1,3,25-triol, (1.alpha.,3.beta.,52,78)(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 157893-62-4 CAPLUS
CN 9,10-5eccergosta-5,7,10(19)-triene-1,3,24-triol,
(1.alpha.,3.beta.,52,7E)(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

IT 143032-85-3, l.alpha.-Hydroxyvitamin D4
RL: TMU (Therapeutic use); BIOL (Biological study); USES (Uses)
(delayed and/or sustained-release vitamin D formulations for
treating
prostatic diseases)

L13 ANSWER 3 OF 11
ACCESSION NUMBER:
1998:405433 CAPLUS
DOCUMENT NUMBER:
129:49645
Hethods of treating skin disorders with novel
1a-hydroxy vitamin D4 compounds
INVENTOR(S):
SOURCE:
Bone Care International, Inc., USA
SOURCE:
U.S., 12 pp. Cont.-in-part of U.S. Ser. No. SOURCE: 265,438. CODEN: USXXAM

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent English 10

							DATE						ON N		DATE		
							1998	0609							1995	0607	
	US	5488	120		A		1996	0130		U	5 94	-296	084		1994	0824	
					A		1998	0901		U	5 95	-480	310		1995	0607	
										US 95-524889					19950907		
									WO 96-U59222								
				AT,													
FI,										•	•						
,			GB.	GE,	HU.	IS.	JP.	KE.	KG.	KP.	KR.	KZ,	LK.	LR,	LT,	LU,	LV,
MD.														,			
,			MG.	MN,	MW.	MX.	NO.	NZ.	PL.	PT,	RO,	RU.	SD,	SE.	SG,	SI,	SK,
TJ,																	
			TM,	TT													
		RW:	KE,	LS,	MW.	SD.	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,
GR,																	
			IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CH,	GΑ,	GN,
ML,																	
			MR,	NE,	SN,	TD,	TG										
	CA	2222	591		A	A i	1996	1219		C.	A 96	-222	2591		1996	0606	
	ĒΡ	8318	38		A	1	1998	0401		E	P 96	-921	322		1996	0606	
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	NL,	SE,	PΤ,	IE,
FI																	
PRIC	RITY	APP	LN.	INFO	. :					U	5 90	-586	854		1990	0921	
										U	5 91	-800	045		1991	1129	
										U	5 92	-886	554		1992	0520	
										U.	5 94	-265	438		1994	0624	
										U	S 92	-827	173		1992	0129	

US 92-991493 US 94-261730 US 95-484342 WO 96-US9222 19921217

Various skin disorders, including skin cancer, are treated with l.alpha.-hydroxy vitamin D4 and its analogs, including 1,25-dihydroxy vitamin D4 and 1,24-dihydroxy vitamin D4. The compds. were prepd. from

ergosterol in a multi-step synthesis. They do not induce hypercalcemia. It 14302-05-39

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant);

L13 ANSWER 2 OF 11 CAPLUS COPYRIGHT 1999 ACS (Continued)
RN 143032-85-3 CAPLUS
CN 9,10-Secoergosta-5-7,10(19)-triene-1,3-diol, (1.alpha.,3.beta.,5Z,7E)(9CI) (CA INDEX NAME)

ANSWER 3 OF 11 CAPLUS COPYRIGHT 1999 ACS (Continued)
SPN (Synthetic preparation); THU (Therapeutic use); BIOL
(Biological study); PREP (Preparation); USES (Uses)
(prepn. and treating hyperproliferative skin disorders with novel
la-hydroxy vitamin De derivs.)
143032-85-3 CAPLUS
9,10-Secoergosta-5,7,10(19)-triene-1,3-diol, (l.alpha.,3.beta.,52,7E)(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

IT 131249-38-2P 157893-62-4P
RL: BAC (Biological activity or effector, except adverse); SPN
(Synthatic preparation); THU (Therapeutic use); BIOL (Biological study);
PREP (Preparation); USES (Uses)
(prepn. and treating hyperproliferative skin disorders with novel la-hydroxy vitamin D4 derivs.)
RN 131249-38-2 CAPLUS
CN 9,10-Seccergosta-5,7,10(19)-triene-1,3,25-triol,
(1.alpha.,3.beta.,52,78)(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 157893-62-4 CAPLUS
CN 9,10-5ecoergosta-5,7,10(19)-triene-1,3,24-triol,
(1.alpha.,3.beta.,52,7E)(9C1) (CA INDEX NAME)

L13 ANSWER 3 OF 11 CAPLUS COPYRIGHT 1999 ACS (Continued

Absolute stereochemistry. Double bond geometry as shown.

L13 ANSWER 4 OF 11 CAPLUS COPYRIGHT 1999 ACS (Continued) prostate, e.g., prostatic cancer and prostatic hyperplasia, which includes administering to a patient in need thereof an active vitamin D analog.

Cell differentiation is promoted, induced or enhanced without causing to the patient dose-limiting hypercalcemia and hypercalciuria. The compd. or its in vivo metabolite shows a vitamin D receptor binding affinity substantially equiv. to the binding affinity of l.alpha., 25-dhydroxyvitamin D3 and a hypercalcemia risk substantially lower than that of l.alpha., 25-dhydroxyvitamin D3. Patients with advanced androgen-independent prostate cancer began a course of therapy with l.alpha., 24-dhydroxyvitamin D2 (1). The maximal tolerated dosage (MTD) of daily oral I was detd. by administering progressively higher dosages to patients. The MTD for I was above 20.0 mg/day, a level which is 10-to 40-fold higher than that of l.alpha., 25-(OH) 2D3 and patients treated with the MTD of I for at least 6 mo reported that bone pain assocd. with metastatic disease was significantly diminished.

1 131249-38-2, lalpha., 25-bhydroxyvitamin D4 143032-85-3, l.alpha.-Hydroxyvitamin D4. 157893-62-4, l.alpha., 24-Dhydroxyvitamin D4 RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOI (Biological study); USES (Uses) (prostatic diseases using active vitamin D analogs and anticancer agents)

RN 131249-38-2 CAPLUS

N 9,10-Secoergosta-5,7,10(19)-triene-1,3,25-triol, (1.alpha.,3beta.,52,75)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 143032-85-3 CAPLUS CN 9,10-Secoergosta-5,7,10(19)-triene-1,3-diol, (1.alpha.,3.beta.,52,7E)-(SCI) (CA INDEX NAME) L13 ANSWER 4 OF 11
ACCESSION NUMBER:
DOCUMENT NUMBER:
129:49643
TITLE:
18VENTOR(S):
Bishop, Charles W.; Knutson, Joyce C.; Mazess,
Richard INVENTOR (S) : Richard B.
Bone Care International, Inc., USA
U.S., 10 pp. Cont.-in-part of U. S. 5,602,116.
CODEN: USXXXM
Patent
English
10 PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. DATE PATENT NO. KIND DATE \$ 5763429 A 19980609 US 96-781910 19961230 \$ 5403831 A 19950404 US 93-119995 19930910 \$ 5602116 A 19970211 US 95-415488 19950403 \$ 5798345 A 19980825 US 95-486387 19950607 \$ 9829123 A1 19980709 WG 97-US22450 19971210 W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, US 5763429 US 5403831 US 5602116 US 5798345 WO 9829123 FI. GB, GE, HU, 1S, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD. MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ. TM, TT, UA, UG, UZ, VN RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI. FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, GA, GN, ML, MR, NE, SN, TD, TG
AU 985556 A1 19980731 AU 98-55956
US 93-119895
US 95-4265438
US 95-415488
US 95-466387
US 98-227371
US 90-559612
US 90-559612
US 90-586854
US 91-800045
US 92-812056
US 92-816554
US 96-781910 CM. PRIORITY APPLN. INFO.: 19880802 19900817 19900921 19911129 19911217 19920305 19920520 19961230 19971210

OTHER SOURCE(S): MARPAT 129:49643

AB The invention provides therapeutic methods for inhibiting, ameliorating or alleviating the hyperproliferative cellular activity of diseases of

L13 ANSWER 4 OF 11 CAPLUS COPYRIGHT 1999 ACS (Continued)

Absolute stereochemistry. Double bond geometry as shown.

RN 157893-62-4 CAPLUS CN 9,10-Secoergosta-5,7,10(19)-triene-1,3,24-triol, (1.alpha.,3.beta.,52,7E)-(9C1) (CA INDEX NAME)

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LI3 ANSWER 5 OF 11 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1998:87379 CAPLUS
DOCUMENT NUMBER: 128:163243
TITLE: Growth inhibition and differentiation induction
human monoblastic leukemia cells by
l.alpha.-hydroxyvitamin D derivatives and their
enhancement by combination with hydroxyurea
AUTHOR(S):
Department of Chemotherapy, Saitama Cancer Center
Research Institute, Saitama, 362, Japan
SOURCE:
Br. J. Cancer (1998), 77(1), 33-39
CODEN: BJCAAI; ISSN: 0007-0920
Churchill Livingstone
DOCUMENT TYPE:
Journal
LANGUAGE:
Br. J. cancer (1998), 77(1), 33-39
Churchill Livingstone
Journal
LANGUAGE:
Br. J. cancer (1998), 77(1), 39-39
Churchill Livingstone
Journal
LANGUAGE:
English
AB The active form of vitamin D, 1.alpha., 25-dihydroxyvitamin D3
(1,25(GH)2D3), is a potent inducer of differentiation in myeloid
leukemia
cells, but its clin. use is limited because of its hypercalcemic
activity.
The authors examd. the ability of 1,25(OH)2D3 in combination with
anti-cancer drugs to inhibit the proliferation of, and induce differentiation in, human monoblastic leukemia U937 cells.

Hydroxyurea

(HU), cytarabine and camptothecin showed effective synergism with 1,25 (OH) 2D3 with regard to growth inhibition, while daunorubicin and etoposide had only modest synergistic effects. HU and cytarabine effectively enhanced nitroblue tetrazolium-reducing activity induced by
                1,25 (OH) 2D3. \, HU also enhanced the morphol. maturation and
expression of CD11b and CD14 in cells treated with 1,25(OH) 2D3. Among the anticancer drugs examd., HU had the greatest synergistic effects with 1,25(OH) 2D3
                 with regard to growth inhibition and differentiation induction in
cells. HU also enhanced the differentiation of other myeloid
leukemia
HL-60, ML-1, THP-1, P39/TSU, P31/FUJ and NB4 cells induced by
1,25(GH)2D3
and that of U937 cells induced by 24-epi-1,25(GH)2D2 and 1,25(GH)2D7.
Interestingly, 1.alpha.(OH)D derivs. (1.alpha.-hydroxyvitamin D3,
D2.
D2, D4
and D7) effectively induced the differentiation of monoblastic
leukemia
US37, P39/TSU and P31/FUJ cells. HU also enhanced the growth
 inhibition and differentiation of U937 cells induced by 1.alpha.(OH)D derivs.
                1.alpha.(OH)D derivs. preferentially act on monocytic cells, they
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skin disorders, preparation, biological activity, and pharmaceutical and cosmetic compositions Knutson, Joyce C.; Bishop, Charles W. Bonc Care International, Inc., USA PCT Int. Appl., 41 pp. CODEN: PIXXD2 Patent INVENTOR (S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: Reglish FAMILY ACC. NUM. COUNT: 10
PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE 0154 A1 19961219 WO 96-US9222 19960606 AM, AT, AU, BB, BG, BR, BY, CA, CR, CN, CZ, DE, DK, EE, ES, WO 9640154 FI. GB. GE. HU. IS. JP. KE. KG. KP. KR. KZ. LK, LR. LT. LU. LV. MD. MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ. TM, TT RW: KE, LS, MW, SD, S2, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, $\ensuremath{\text{RW}}$ GR. IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
US 5763428 A 19980609
AU 9662569 A1 19961230
EP 831838 A1 19980401 US 95-484342 AU 96-62569 EP 96-921322

Use of vitamin D4 hydroxy derivatives for

L13 ANSWER 6 OF 11 CAPLUS COPYRIGHT 1999 ACS ACCESSION NUMBER: 1997:134848 CAPLUS DOCUMENT NUMBER: 126:139903

TITLE:

treating

AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, PRIORITY APPLN. INFO.:

RITY APPLN. INFO.:

US 95-484342 19950607

US 90-586854 19900921

US 91-800045 19911129

US 92-886554 19920520

US 94-265438 19940624

WO 96-US9222 19960606

1.alpha.-Hydroxyvitamin D4 (I) and analogs, including 1,25-dihydroxyvitamin D4 and 1,24-dihydroxyvitamin D4, are disclosed hare

useful as active compds. of pharmaceutical compns. for the treatment

disorders of calcium metab. and various skin disorders (skin cancer, dermatitis, eczema, etc.). I was effective increasing serum calcium

in vitamin D-deficient rats. Synthesis of I is described, as are pharmaceutical and commetic compns. contg.

1. alpha., 24-dhydrosyvitamin D4. Antiproliferative activity, as well as its use in treatment of osteoporosis and psoriasis, are described.

17 131249-38-2 143032-85-3 157893-82-4

Absolute stereochemistry.
Double bond geometry as shown.

ANSWER 6 OF 11 CAPLUS COPYRIGHT 1999 ACS (Continued)
RL: THU (Therapoutic use); BIOL (Biological study); USES (Uses)
(vitamin D4 hydroxy derivs. for treating skin disorders, prepn.,

biol.

activity, and pharmaceutical and cosmetic compns.)

RN 131249-38-2 CAPLUS

CN 9,10-Secoergosta-5,7,10(19)-triene-1,3,25-triol,
(1.alpha.,3.beta.,\$2,7E)
(9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

143032-85-3 CAPLUS 9,10-Secoargosta-5,7,10(19)-triene-1,3-diol, (1.alpha.,3.beta.,52,7E)-(9CI) (CA INDEX NAME)

Absolute stereochemistry Double bond geometry as shown.

RN 157893-62-4 CAPLUS CN 9,10-Secoergosta-5,7,10(19)-triene-1,3,24-triol, (1.alpha.,3.beta.52,7E)-(9C1) (CA INDEX NAME)

L13 ANSWER 6 OF 11 CAPLUS COPYRIGHT 1999 ACS (Continued)

L13 ANSWER 7 OF 11 CAPLUS COPYRIGHT 1999 ACS (Continued) osteoporosis and psortasis, are described.

IT 131249-30-2 143032-05-3 157893-62-4
RL: TMU (Therapeutic use), BIOL (Biological study); USES (Uses) (vitamin D4 hydroxy derivs.for treating cancer and disorders of calcium metab., prepn., biol. activity, and pharmaceutical and cosmetic compns.)

RN 131249-38-2 CAPLUS
CN 9,10-Secoergosta-5,7,10(19)-triene-1,3,25-triol, (1.alpha.,3.beta.,52,7E)(9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 143032-85-3 CAPLUS CN 9,10-5ecoergosta-5,7,10(19)-triene-1,3-dio1, (1.alpha.,3.beta.,52,7E)-(SCI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 157893-62-4 CAPLUS CN 9,10-Secoergosta-5,7,10(19)-triene-1,3,24-triol, (1.alpha.,3.beta.,52,7E)-(9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L13 ANSWER 7 OF 11 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER:
DSCUMENT NUMBER:
126:139902
Use of vitamin D4 hydroxy derivatives for treating cancer and disorders of calcium metabolism, preparation, biological activity, and pharmaceutical and commetic compositions Knutson, Joyce C., Bishop, Charles W. Bone Care International, Inc., USA PCT Int. Appl., 38 pp. CODEN: PIXXD2 Patent Inglish INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ. TM, TT RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, $\ensuremath{\text{RW}}$ GR. IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML. MR, NE, SN, TD, TG
US 5798345 A 19980825
AU 9663791 A1 19961230
EP 831839 A1 19980401 MR, NE, SN, TD, TG

US 5798345 A 19980825 US 95-486387 19950607
AU 9663791 Al 19961230 AU 96-63791 19960606
EP 831839 Al 19380401 EP 96-323223 19960606
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI
PRIORITY APPLN. INFO.: US 95-486387 19950607
US 91-806654 19900921
US 91-806654 19911129
US 92-886554 19920520
US 94-265438 19940624
WO 96-US9221 19960606
AB 1.alpha.-Hydroxyvitamin D4 (I) and analogs, preferably
1,24-dihydroxyvitamin D4, are disclosed which are useful as active compds. of pharmaceutical compns. for the treatment of disorders of calcium and breast and colon cancers. I was effective increasing serum

L13 ANSWER 7 OF 11 CAPLUS COPYRIGHT 1999 ACS (Continued)

ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

L13 ANSWER 8 OF 11
ACCESSION NUMBER:
DOCUMENT NUMBER:
126:148535
HATCH OF THE ANSWER SECONDARY
HYPERTOR (S):

CAPLUS COPYRIGHT 1999 ACS
1297:128093 CAPLUS
126:148535
HATCH OF THE ANSWER SECONDARY
HYPERTOR (S):

KNUTSON, JOYCE C. / Bishop, Charles W./ Mazess,

Richard

B. Bone Care International, Inc., USA U.S., 8 pp. Cont.-in-part of U.S. 5, 403, 831. CODEN: USXXAM PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE:

Patent English 10

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE US 5602116 A 19970211 US 95-415488 19950403 US 5104864 A 19920414 US 90-569412 19900817 US 5403831 A 19950404 US 90-569412 19900817 W0 9631215 A1 19951010 W0 96-US4553 19960403 W: AU, BR, CA, CN, FI, HU, JP, KR, MX, NO, NZ, PL, SE RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, PT, SE CA 2217260 AA 19961010 CA 96-2217260 19960403	
US 5602116 A 19970211 US 95-415488 19950403 US 5104864 A 19920414 US 90-569412 19900817 US 5403931 A 19950404 US 93-119895 19930910 WO 9631215 A 19961010 WO 96-US4553 19960403 W: AU, BR, CA, CN, FT, HU, JP, KR, MK, NO, NZ, FL, FR, FR, FR, FR, FR, FR, FR, FR, FR, FR	
US 5104864 A 19920414 US 90-569412 19900817 US 93-1995 19930910 WO 9631215 A1 19950404 US 93-11995 19930910 WO 96-195453 19960403 W: AU, BR, CA, CN, FI, HU, JP, KR, MX, NO, NZ, FL, SE RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, 197. SE	
US 5403831 A 19950404 US 93-119895 19930910 W9 9631215 A1 19961010 W0 96-US4553 19960403 W: AU, BR, CA, CN, FI, HU, JP, KR, MX, NO, NZ, PL, SG RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, 1	
W0 9631215 A1 19961010 W0 96-US4553 19960403 W: AU, BR, CA, CN, FI, HU, JP, KR, MX, NO, NZ, PL, SG RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, 1	
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PT. SE	
PT, SE CA 2217260 AA 19961010 CA 96-2217260 19960403	NL,
CA 2217260 AA 19961010 CA 96-2217260 19960403	
AU 9653840 Al 19961023 AU 96-53840 19960403	
EP 820290 A1 19980128 EP 96-910720 19960403	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT,	ΙE,
FI	
BR 9604940 A 19980609 BR 96-4940 19960403 CN 1185109 A 19980617 CN 96-194098 19960403	
CN 1185109 A 19980617 CN 96-194098 19960403	
us 5763429 A 19980609 US 96-781910 19961230	
US 5707980 A 19980113 US 97-798958 19970211	
US 5707980 A 19980113 US 97-798958 19970211 US 5861386 A 19990119 US 97-907658 19970808 NO 9704480 A 19971114 NO 97-4480 19970829	
NO 9704480 A 19971114 NO 97-4480 19970929	
FI 9703868 A 19971002 FI 97-3868 19971002	
PRIORITY APPLN. INFO.: US 88-227371 19880802	
US 90-569412 19900817	
US 92-812056 19920305	
US 93-119895 19930910	
US 91-812056 19911217	
US 94-265438 19940624	
US 54-25450 13340524	
US 95-415488 19950403 US 95-486387 19950607	
WO 96-US4553 19960403	
us 97-798958 19970211	
05 97-798958 19970211	

AB A method for preventing loss of bone mass or bone mineral content in

human being suffering from secondary hyperparathyroidism by administering a sufficient amt. of 1.alpha.-OH vitamin D2, 1.alpha.,24(S)-(OH)2 vitamin

L13 ANSWER 9 OF 11 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1996:710533 CAPLUS
DOCUMENT NUMBER: 125:317372
TITLE: Use of vitamin D2 or vitamin D4 derivatives for the

treatment of secondary hyperparathyroidism Knutson, Joyce C.: Mazess, Richard B.: Bishop,

W.
Bone Care International, Inc., USA
PCT Int. Appl., 30 pp
CODEN: PIXXB2
Patent
English
10

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATI	ENT :	INFOR	MATI	ON:													
										APF					DATE		
	ΨO	9631	215		A	1	1996	1010		WO	96-	-US4	553		1996	0403	
										KR, M							
		DW.	BT.	BE	CH,	DR.	DK.	ES.	RT.	FR, G	B.	GR.	IE.	IT.	LU.	MC.	NL.
D.00	CT2	₽# •	A.,	DE,	٠.,	ДД,	534,	40,	,	,	,	,	,				
PT,	46						1007	0211		US	05.	415	400		1005	0403	
	US	5602	116		A		1997	0211		03	35.	413	400		1333	0403	
	ΑU	9653	840		A	1	1996	1023		AU	96-	-538	40		1996	0403	
	EP	8202	90		A	1	1998	0128		EP	96-	-910	720		1996	0403	
		R:	AT.	BE,	CH,	DE,	DK,	ES,	FR,	GB, C	GR,	IT,	LI,	NL,	SE,	PT,	ΙE,
FI																	
	BR	9604	940		A		1998	0609		BR	96-	-494	0		1996	0403	
	NO	9704	480		A		1997	1114		NO	97-	-448	0		1997	0929	
		9703								FI	97-	-386	8		1997	1002	
DD I		YAPP								IIS	95-	-415	488		1995	0403	
, KI	JA11	ı Arı	Div.	INFO	• •											0802	
																0817	
															1992		
																0910	
										WO	96-	-US4	553		1996	0403	

A method for preventing loss of bone mass or bone mineral content in

human being suffering from secondary hyperparathyroidism comprises administering a sufficient amt. of 1.alpha.-OH vitamin D2, 1.alpha.24(S)-(OH)2 vitamin D2, 1.alpha.-OH vitamin D4, or 1.alpha.24(R)-(OH)2 vitamin D4. Treatment of patients undergoing

nic hemodialysis with two consecutive 12 wk courses of therapy with 4 .mu.g/day 1.alpha.-OH vitamin D2 decreased the serum parathyroid

One
level to 50% of the pretreatment level.
143032-85-3, 1.alpha.-Hydroxy vitamin D4 157893-62-4
RL: BAC (Biological activity or effector, except adverse); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)
(use of vitamin D2 or vitamin D4 derivs. for treatment of

secondary
hyperparathyroidism)
RN 143032-85-3 CAPLUS
CN 9,10-5scoergosta-5,7,10{19}-triene-1,3-diol,(1.alpha.,3.beta.,5Z,7E)-

ANSWER 8 OF 11 CAPLUS COPYRIGHT 1999 ACS (Continued)
D2, 1.alpha.-OH vitamin D4 or 1.alpha., 24(R) - (OH) 2 vitamin D4 was reported.
143032-65-3, 1.alpha.-Hydroxy vitamin d4 157893-62-4
RL: THU (Therapeutic use) BIOL (Biological study) USES (Uses) (vitamin D formulations for treating and preventing secondary hyperparathyroidism)
143032-85-3 CAPLUS
9,10-Secoergosta-5,7,10(19)-triene-1,3-diol, (1.alpha.,3.beta.,52,7E)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 157893-62-4 CAPLUS CN 9,10-5eccergosta-5,7,10(19)-triene-1,3,24-triol, (1.alpha.,3.beta.,52,7E)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

L13 ANSWER 9 OF 11 CAPLUS COPYRIGHT 1999 ACS (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 157893-62-4 CAPLUS CN 9,10-Secoergosta-5,7,10(19)-triene-1,3,24-triol, (1.alpha.,3.beta.,52,7E)-(9CI) (CA INDEX NAME)

L13 ANSWER 10 OF 11 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1996:447075 CAPLUS
DOCUMENT NUMBER: 125:123721
ITILE: 1.alpha.-hydroxyprevitamin D
INVENTOR(S): Knutson, Joyce C.; Valliere, Charles R.; Bishop, Charles W.
PATENT ASSIGNEE(S): Lunar Corp., USA
50URCE: U.S., 12 pp. Cont.-in-part of U.S. Ser. No.

901,886,

abandoned. CODEN: USXXAM

DOCUMENT TYPE: Patent English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5529991	A	19960625	US 94-196116	19940222
US 5622941	A	19970422	US 94-188942	19940126
US 5614513	A	19970325	US 95-485354	19950607
AU 9660608	A1	19961003	AU 96-60608	19960722
US 5795882	A	19980818	US 96-775447	19961230
PRIORITY APPLN.	INFO.:		US 92-901886	19920622
			US 94-196116	19940222
			119 95-495354	19950607

US 95-485354 MARPAT 125:123721 OTHER SOURCE(S): An enteric-coated sustained-release oral dosage form for vitamin D for

treatment of osteoporosis and psoriasis and prevention of

hypocalcemia and calcenia and bone loss in hemodialysis is claimed. The compn. comprises a matrix contg. an activated vitamin D or 1.alpha.-hydroxy vitamin D coated

with

cellulose acetate phthalate or an acrylic polymer of Eudrapit type.

11 131249-38-2, 1.alpha.,25-Dihydroxy vitamin D4 143032-85-3

, 1.alpha.-Hydroxy vitamin D4 157893-62-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(enteric-coated sustained-release oral dosage forms for vitamin D)

RN 131249-38-2 CAPLUS

CN 9,10-Secoergosta-5,7,10(19)-triene-1,3,25-triol,

(1.alpha.,3.beta.,52,78)
(SCI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L13 ANSWER 10 OF 11 CAPLUS COPYRIGHT 1999 ACS (Continued)

L13 ANSWER 10 OF 11 CAPLUS COPYRIGHT 1999 ACS (Continued)

143032-85-3 CAPLUS 9,10-Secoergosta-5,7,10(19)-triene-1,3-diol, (1.alpha.,3.beta.,52,7E)-(SCI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 157893-62-4 CAPLUS
CN 9,10-Secoergosta-5,7,10(19)-triene-1,3,24-triol,
(1.alpha.,3.beta.,52,7E)(9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L13 ANSWER 11 OF 11
ACCESSION NUMBER:
DOCUMENT NUMBER:
1994:107472 CAPLUS
120:107472 CAPLUS
111LE:
Preparation of vitamin D derivatives
Yokoyama, Shinji; Tejima, Takeshi; Tachibana, Yoji
Nisshia Flour Milling Co, Japan
Jon. Kokai Tokkyo Koho, 16 pp.
CODE:
DOCUMENT TYPE:
LANGHAGE:
Japanere

LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. APPLICATION NO. DATE KIND DATE

OTHER SOURCE(s): CASREACT 120:107472

AB Vitamin D derivs. [I; Rl - Me, R2 - H; Rl - H, R2 - Me], useful in treating bone metabolic disorders (no data), are preped. by a simplified scheme via a 4-phenyl-1,2,4-triazoline-3,5-dione-protected intermediates.

intermediate.

Reaction of ergosterol with 4-phenyl-1,2,4-triazoline-3,5-dione in

.2.

followed by silylation with Me3CSiMe2Cl gave silyl ether II. II was further reacted in 8 steps to give III, which was heated in HOAc at 55.degree. to give a 3.beta.-acetate 25-triethylsilyl ether deriv.,

which

was then desilylated with 1M Bu4N+ F- in THF at 50.degree. and sapond.
with ethanolic KOH to give (24S)-I (RI = H, R2 = Me).

IT 131249-38-2P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL
(Biological study); PREP (Preparation); USES (Uses)
(prepn. of, for treatment of bone disease)

RN 131249-38-2 CAPLUS
CN 9,10-Secoergosta-5,7,10(19)-triene-1,3,25-triol,
(1.alpha,3.beta,35,7.B)(9CI) (CA INDEX NAME)